

## CLAIMS

1. Oral pharmaceutical formulation in the form of  
a granulate comprising more than 60% by weight  
of mesalazine or a pharmaceutically acceptable  
salt thereof.
2. Pharmaceutical formulation according to claim 1  
comprising more than 70% by weight of  
mesalazine or a pharmaceutically acceptable  
salt thereof.
3. Pharmaceutical formulation according to claim 1  
comprising more than 80% by weight of  
mesalazine or a pharmaceutically acceptable  
salt thereof.
4. Pharmaceutical formulation according to any of  
the preceding claims, having in vitro release  
characteristics of mesalazine of at least 40%  
released after 240 min, of the total amount of  
mesalazine in the formulation, measured in a  
model system using a USP Paddle System 2  
operated at 37°C with stirring at 100 rpm.
5. Pharmaceutical formulation according to any of  
the preceding claims, having in vitro release  
characteristics of mesalazine of
  - a) 5 - 25 % released after 15 min;
  - b) 30 - 70 %, preferably 40 - 60 %, released  
after 90 min; and
  - c) 75 - 100 % released after 240 min;of the total amount of mesalazine in the  
formulation measured in a model system using a  
USP Paddle System 2 operated at 37°C with  
stirring at 100 rpm.

6. Pharmaceutical formulation according to any of the preceding claims, having a similarity factor  $f_2$  above 30, preferably above 40, more preferred above 50, as compared to a standard having the in vitro release characteristics of mesalazine of
- 5 a) 12 % released after 15 min;  
b) 50 % released after 90 min; and  
c) 85 % released after 240 min;
- 10 as measured under the conditions of claim 5.
7. Pharmaceutical formulation according to any of the preceding claims, further comprising a pharmaceutically acceptable binder, preferably Povidone, in an amount less than or equal to an amount selected among the group consisting of
- 15 1; 2; 3; 4; 5; 6; 7; 8; 9; 10 and 12 % by weight.
8. Pharmaceutical formulation according to any of the preceding claims, further comprising a coating, preferably comprising or consisting of ethylcellulose.
- 20 9. Pharmaceutical formulation according to any of the preceding claims, comprising a coating, the ratio of the weight of said coating to the weight of said mesalazine or said pharmaceutically acceptable salt being selected
- 25 among 0.1-10%; 0.3-7%; 0.5-5%; 0.7-3%; 0.8-2%; and 0.9-1.5%.
- 30 10. Pharmaceutical formulation according to any of the preceding claims, essentially consisting of mesalazine, a pharmaceutically acceptable binder and a coating.
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11. Pharmaceutical formulation according to any of the preceding claims, wherein said pharmaceutical formulation is packed in a sachet.
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12. Method for manufacturing a pharmaceutical formulation according to any of the preceding claims, comprising the steps:
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- a) mixing mesalazine with granulation liquid;
  - b) obtaining granulate by granulating, compacting or extruding;
  - c) drying the granulate;
  - d) adjusting the size of the granulate as necessary; and
  - 15 e) sieving the granulate as necessary;
- characterised in the additional step of:
- f) coating the granulate;
  - and optionally further:
  - g) sieving the coated granulate;
  - 20 h) air purging the coated granulate.
13. Method according to claim 12, wherein said coated granulate are packed in a sachet.
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14. Method according to claim 12 or 13, wherein said granulation liquid consists of Povidone dissolved in water.
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15. Method according to any of the claims 12 - 14, wherein said drying step c) is performed in a fluid bed dryer.
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16. Method according to any of the claims 12 - 15, wherein said adjusting of size step d) is performed by milling.

17. Method according to any of the claims 12 - 16, wherein said sieving step e) is performed by selecting granulate passing a 1.8 mm sieve, but not passing a 0.5 mm sieve.
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18. Method according to any of the claims 12 - 17, wherein said coating step f) is performed with ethylcellulose.
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19. Method according to any of the claims 12 - 18, wherein said coating step f) is performed by applying an amount of coating material adjusted, according to the specific surface area, to be in the range 0.09 - 0.17 mg/cm<sup>2</sup>, preferably 0.11 - 0.15 mg/cm<sup>2</sup>, followed by drying.
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20. Method according to any of the claims 12 - 19, wherein said sieving step g) is performed on a rotation sieve, preferably with a mesh size of 2.5 mm.
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21. Use of mesalazine for the manufacture of a pharmaceutical formulation according to any of the claims 1 - 11, comprising a total dosage amount of mesalazine chosen among the group consisting of 0,5 g; 1,0 g; 1,5 g; 2 g; 3 g; 4 g; 5 g; 6 g; 8 g; and 10 g; preferably packed in a sachet.
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22. Use according to the preceding claim, wherein the medicament is for the treatment of intestinal bowel disease, preferably Crohns's Disease or Ulcerative Colitis.
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